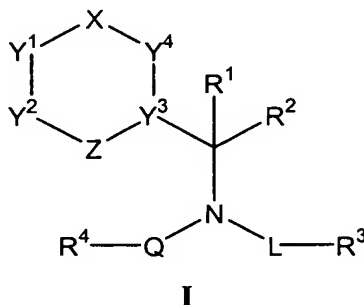


WHAT IS CLAIMED IS:

1. A compound having the formula (I):



wherein

X is a member selected from the group consisting of a bond, -C(O)-, -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;

Z is a member selected from the group consisting of a bond, -N=, -O-, -S-, -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO- and -CH<sub>2</sub>SO<sub>2</sub>-;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;

R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;

R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group

29 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
30 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
31 R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
32 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
33 each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
34 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
35 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
36 Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
37 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
38 Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
39 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
40 Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
41 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
42 each R<sup>12</sup> is a member independently selected from the group consisting of  
43 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
44 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
45 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
46 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
47 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
48 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
49 R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
50 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
51 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
52 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
53 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
54 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;  
55 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
56 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and  
57 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
58 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
59 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
60 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
61 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
62 with the proviso that when the Y<sup>3</sup>-containing ring system is a

63 quinazolinone or quinolinone ring system, and  $R^4$ -Q- is substituted or unsubstituted ( $C_5$ -  
64  $C_{15}$ )alkyl, then  $R^3$ -L- is other than substituted or unsubstituted ( $C_2$ - $C_8$ )alkylene or a  
65 substituted or unsubstituted ( $C_2$ - $C_8$ )heteroalkylene attached to  $-NR'R''$ , wherein  $R'$  and  
66  $R''$  are independently selected from the group consisting of hydrogen and ( $C_1$ - $C_8$ )alkyl, or  
67 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
68 or 7-membered ring.

1                    2. A compound of Claim 1, wherein  $Y^4$  is  $-N(R^{14})-$  wherein  $R^{14}$  is  
2 selected from the group consisting of aryl and heteroaryl.

1                    3. A compound of Claim 1, wherein X is  $-C(O)-$

1                    4. A compound of Claim 1, wherein Z is  $-N=$ .

1                    5. A compound of Claim 1, wherein  $Y^1$  and  $Y^2$  are each  $-C(R^{12})=$   
2 wherein the two  $R^{12}$  groups are combined to form a fused 6-membered aryl or heteroaryl  
3 ring.

1                    6. A compound of Claim 1, wherein X is  $-C(O)-$ ; Z is  $-N=$ ;  $Y^3$  is C; and  
2  $Y^1$  and  $Y^2$  are each  $-C(R^{12})=$ .

1                    7. A compound of Claim 6, wherein the two  $R^{12}$  groups are combined to  
2 form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1                    8. A compound of Claim 6, wherein  $Y^4$  is  $-N(R^{14})-$ .

1                    9. A compound of Claim 6, wherein  $Y^4$  is  $-C(R^{14})=$ .

1                    10. A compound of Claim 7, wherein  $Y^4$  is  $-N(R^{14})-$ .

1                    11. A compound of Claim 7, wherein  $Y^4$  is  $-C(R^{14})=$ .

1                    12. A compound of Claim 1, wherein L is ( $C_1$ - $C_8$ )alkylene.

1                    13. A compound of Claim 1, wherein Q is  $-C(O)-$ .

1                    14. A compound of Claim 1, wherein  $R^4$  is selected from the group  
2 consisting of ( $C_5$ - $C_{15}$ )alkyl, substituted or unsubstituted phenyl and biphenyl.

1                   15. A compound of Claim 1, wherein R<sup>3</sup> is selected from the group  
2 consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-  
3 C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, cyano, heteroaryl, -CONR<sup>9</sup>R<sup>10</sup>  
4 and -CO<sub>2</sub>R<sup>11</sup>.

1                   16. A compound of Claim 1, wherein R<sup>1</sup> and R<sup>2</sup> are independently selected  
2 from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                   17. A compound of Claim 1, wherein Y<sup>3</sup> is C and the carbon atom shares a  
2 double bond with Z.

1                   18. A compound of Claim 1, wherein X is -C(R<sup>5</sup>)(R<sup>6</sup>)-; Y<sup>4</sup> is -N(R<sup>14</sup>)-,  
2 wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup>  
3 and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   19. A compound of Claim 18, wherein X is -CH<sub>2</sub>- and the R<sup>12</sup> groups are  
2 combined to form a substituted or unsubstituted aryl or heteroaryl ring.

1                   20. A compound of Claim 1, wherein X is -C(R<sup>5</sup>)=; Y<sup>4</sup> is -C(R<sup>14</sup>)=,  
2 wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup>  
3 and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1                   21. A compound of Claim 20, wherein R<sup>1</sup> is H.

1                   22. A compound of Claim 1, wherein X is a bond; Y<sup>4</sup> is -N(R<sup>14</sup>)-, wherein  
2 R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -N=; and Y<sup>1</sup> and Y<sup>2</sup> are  
3 each -C(R<sup>12</sup>)=.

1                   23. A compound of Claim 22, wherein the R<sup>12</sup> groups are combined to  
2 form a substituted or unsubstituted aryl or heteroaryl ring.

1                   24. A compound of Claim 22, wherein R<sup>1</sup> is H.

1                   25. A compound of Claim 1, wherein X is -C(R<sup>5</sup>)=; Y<sup>4</sup> is -C(R<sup>14</sup>)=,  
2 wherein R<sup>14</sup> is substituted or unsubstituted aryl or heteroaryl; Y<sup>3</sup> is C; Z is -C(R<sup>7</sup>)=; and  
3 Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)=.

1 26. A compound of Claim 25, wherein  $R^5$  and  $R^{12}$  are combined to form a  
2 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 27. A compound of Claim 25, wherein  $R^1$  is H.

1 28. A compound of Claim 1, wherein X is a bond; Z is  $-N=$  or  $-N(R^{17})-$ ;  
2  $Y^4$  is  $-C(R^{14})=$ , wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  is  
3 selected from the group consisting of  $-O-$ ,  $-S-$  and  $-N(R^{13})-$ ; and  $Y^2$  is  $-C(R^{12})=$ .

1 29. A compound of Claim 28, wherein  $Y^1$  is  $-O-$  and Z is  $-N=$ .

1 30. A compound of Claim 28, wherein  $Y^1$  is  $-S-$  and Z is  $-N=$ .

1 31. A compound of Claim 28, wherein  $Y^1$  is  $-N(R^{13})-$  and Z is  $-N=$ .

1 32. A compound of Claim 1, wherein X is  $-SO_2-$ ;  $Y^4$  is  $-N(R^{14})=$ , wherein  
2  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^3$  is C; Z is  $-N=$  or  $-C(R^7)=$ ; and  $Y^1$   
3 and  $Y^2$  are each  $-C(R^{12})=$ .

1 33. A compound of Claim 32, wherein  $R^1$  is H.

1 34. A compound of Claim 1, wherein X is a bond; Z is  $-O-$ ,  $-S-$  or  
2  $-N(R^{17})-$ ;  $Y^1$  is  $-N=$  or  $-N(R^{13})-$ ;  $Y^2$  is  $-C(R^{12})=$ ; and  $Y^4$  is  $-C(R^{14})=$  wherein  $R^{14}$  is  
3 substituted or unsubstituted aryl or heteroaryl.

1 35. A compound of Claim 34, wherein  $Y^1$  is  $-N=$  and Z is  $-O-$ .

1 36. A compound of Claim 34, wherein  $Y^1$  is  $-N=$  and Z is  $-S-$ .

1 37. A compound of Claim 34, wherein Z is  $-N(R^{17})-$ .

1 38. A compound of Claim 34, wherein  $R^1$  is H.

1 39. A compound of Claim 1, wherein X is a bond;  $Y^4$  is  $-N(R^{13})-$  or  $=N-$ ;  
2  $Y^2$  is  $-C(R^{12})=$ ;  $Y^3$  is C;  $Y^4$  is  $-C(R^{14})=$  wherein  $R^{14}$  is substituted or unsubstituted aryl or  
3 heteroaryl; and Z is  $-N(R^{17})-$  or  $=N-$ , with the proviso that  $Y^1$  and Z are not both  $=N-$ .

1 40. A compound of Claim 1, wherein X is a bond;  $Y^1$  and  $Y^2$  are each  
2 independently  $-C(R^{12})=$ ;  $Y^3$  is C;  $Y^4$  is  $-C(R^{14})=$  wherein  $R^{14}$  is substituted or

3 unsubstituted aryl or heteroaryl; and Z is  $-N(R^{17})-$ , O or S.

1 41. A compound of Claim 40, wherein the two  $R^{12}$  groups are combined to  
2 form a fused 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 42. A compound of Claim 1, wherein X is  $-C(O)-$ ;  $Y^1$  is  $-N(R^{13})-$ ;  $Y^2$  is  
2  $-N=$ ;  $Y^3$  is C;  $Y^4$  is  $-N(R^{14})-$  wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  
3 and Z is a bond.

1 43. A compound of Claim 42, wherein  $R^1$  is H.

1 44. A compound of Claim 1, wherein X is  $-C(O)-$ ; Z is  $-N(R^{17})-$  wherein  
2  $R^{17}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  and  $Y^2$  are each independently  
3  $-C(R^{12})=$ ;  $Y^3$  is C; and  $Y^4$  is  $-N=$ . *a*

1 45. A compound of Claim 44, wherein  $R^1$  is H.

1 46. A compound of Claim 1, wherein X and Z are  $-N=$ ,  $Y^1$  and  $Y^2$  are each  
2 independently  $-C(R^{12})=$ ;  $Y^3$  is C; and  $Y^4$  is  $-C(R^{14})=$  wherein  $R^{14}$  is a substituted or  
3 unsubstituted aryl or heteroaryl group.

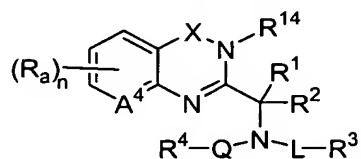
1 47. A compound of Claim 46, wherein  $R^1$  is H.

1 48. A compound of Claim 1, wherein X is  $-C(O)-$ ;  $Y^4$  is  
2  $-N(R^{14})-C(R^5)(R^6)-$ ; wherein  $R^{14}$  is substituted or unsubstituted aryl or heteroaryl;  $Y^1$  and  
3  $Y^2$  are each independently  $-C(R^{12})=$ ;  $Y^3$  is C; and Z is  $-N=$ .

1 49. A compound of Claim 48, wherein  $R^1$  is H.

1 50. A compound of Claim 1, wherein the  $Y^3$ -containing ring system is  
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,  
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,  
4 pyridine, pyrazine and benzodiazepine.

1 51. A compound of Claim 1, having the formula (III):



2  
3  
4 wherein

5 A<sup>4</sup> is C or N;

6 X is -CO-, -CH<sub>2</sub>- or a bond;

7 R<sup>1</sup> and R<sup>2</sup> are each members independently selected from the group consisting of  
8 H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

9 R<sup>14</sup> is a substituted or unsubstituted member selected from the group consisting of  
10 phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

11 Q is -CO-;

12 L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;

13 the subscript n is an integer of from 0 to 4; and

14 each R<sub>a</sub> is independently selected from the group consisting of halogen, -OR',  
15 -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R',  
16 -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)<sub>2</sub>R', -NR'-C(O)NR''R'',  
17 -NH-C(NH<sub>2</sub>)=NH, -NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -  
18 S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>, perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and  
19 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently  
20 selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
21 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-  
22 C<sub>4</sub>)alkyl, and (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1 52. A compound of Claim 51, wherein X is -C(O)-.

1 53. A compound of Claim 51, wherein X is -CH<sub>2</sub>-.

1 54. A compound of Claim 51, wherein X is a bond.

1 55. A compound of Claim 51, wherein R<sup>4</sup> is substituted or unsubstituted  
2 benzyl, wherein said substituents are selected from the group consisting of halogen,  
3 halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl

1 56. A compound of Claim 51, wherein  $R^{14}$  is selected from the group  
2 consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted  
3 thienyl, wherein the substituents are selected from the group consisting of cyano, halogen,  
4  $(C_1-C_8)$ alkoxy,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ heteroalkyl,  $CONH_2$ , methylenedioxy and  
5 ethylenedioxy.

1 57. A compound of Claim 51, wherein  $R^{14}$  is substituted phenyl, wherein  
2 the substituents are selected from the group consisting of cyano, halogen,  $(C_1-C_8)$ alkoxy,  
3  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ heteroalkyl,  $CONH_2$ , methylenedioxy and ethylenedioxy.

1 58. A compound of Claim 51, wherein  $R^4$  is substituted or unsubstituted  
2 benzyl, wherein said substituents are selected from the group consisting of halogen,  
3 halo $(C_1-C_4)$ alkyl, halo $(C_1-C_4)$ alkoxy, cyano, nitro and phenyl, and  $R^{14}$  is substituted  
4 phenyl, wherein the substituents are selected from the group consisting of cyano, halogen,  
5  $(C_1-C_8)$ alkoxy,  $(C_1-C_8)$ alkyl,  $(C_2-C_8)$ heteroalkyl,  $CONH_2$ , methylenedioxy and  
6 ethylenedioxy.

1 59. A compound of Claim 51, wherein  $R^1$  is selected from the group  
2 consisting of methyl, ethyl and propyl, and  $R^2$  is hydrogen.

1 60. A compound of Claim 51, wherein  $R^1$  and  $R^2$  are each methyl.

1 61. A compound of Claim 51, wherein  $R^3$  is selected from the group  
2 consisting of  $(C_1-C_8)$ alkoxy, amino,  $(C_1-C_8)$ alkylamino, di $(C_1-C_8)$ alkylamino,  $(C_2-$   
3  $C_8)$ heteroalkyl,  $(C_3-C_9)$ heterocyclyl and heteroaryl.

1 62. A compound of Claim 51, wherein  $R^3$  is selected from the group  
2 consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted  
3 imidazolyl.

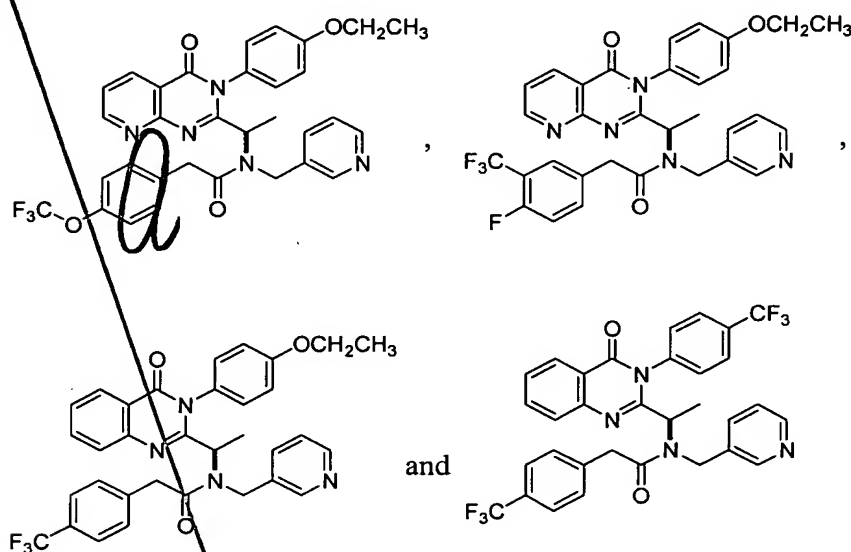
1 63. A compound of Claim 51, wherein L is  $(C_1-C_4)$ alkylene.

1 64. A compound of Claim 51, wherein X is  $-CO-$ ;  $R^1$  and  $R^2$  are each  
2 independently selected from the group consisting of H, methyl and ethyl;  $R^{14}$  is phenyl; ;  
3 L is methylene, ethylene or propylene,  $R^3$  is selected from the group consisting of  
4 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl;  $R^4$  is  
5 substituted or unsubstituted benzyl, wherein said substituents are selected from the group

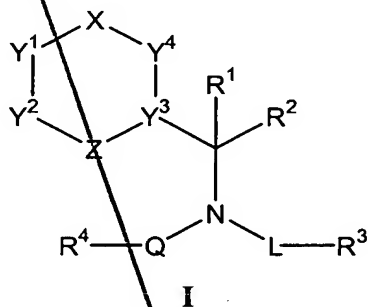


6 consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and  
 7 each R<sub>a</sub> is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',  
 8 -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''',  
 9 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each  
 10 independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
 11 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
 12 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1 **65.** A compound of Claim 51, wherein said compound is selected from the  
 2 group consisting of:



1 **66.** A pharmaceutical composition comprising a pharmaceutically  
 2 acceptable carrier or excipient and a compound having the formula (I):



5 wherein

6 X is a member selected from the group consisting of a bond, -C(O)-,  
 7 -C(R<sup>5</sup>)(R<sup>6</sup>)-, -C(R<sup>5</sup>)=, -S(O)-, -S(O)<sub>2</sub>- and -N=;

8 Z is a member selected from the group consisting of a bond, -N=, -O-, -S-,  
 9 -N(R<sup>17</sup>)- and -C(R<sup>7</sup>)=, with the proviso that X and Z are not both a bond;  
 10 L is a member selected from the group consisting of a bond, C(O)-(C<sub>1</sub>-  
 11 C<sub>8</sub>)alkylene, (C<sub>1</sub>-C<sub>8</sub>)alkylene and (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene;  
 12 Q is a member selected from the group consisting of a bond, (C<sub>1</sub>-  
 13 C<sub>8</sub>)alkylene, (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene, -C(O)-, -OC(O)-, -N(R<sup>8</sup>)C(O)-, -CH<sub>2</sub>CO-, -CH<sub>2</sub>SO-  
 14 and -CH<sub>2</sub>SO<sub>2</sub>-;  
 15 optionally L and Q can be linked together to form a 5- or 6-membered  
 16 heterocyclic group having from 1 to 3 heteroatoms;  
 17 R<sup>1</sup> and R<sup>2</sup> are members independently selected from the group consisting  
 18 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
 19 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
 20 optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
 21 heterocyclic group having from 1 to 4 heteroatoms;  
 22 R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
 23 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
 24 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
 25 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
 26 R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
 27 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
 28 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
 29 R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
 30 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
 31 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
 32 R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
 33 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
 34 each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
 35 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
 36 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
 37 Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
 38 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
 39 Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
 40 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
 41 Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,

42 ~~-N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein~~

43 ~~each R<sup>12</sup> is a member independently selected from the group consisting of~~  
44 ~~H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,~~  
45 ~~heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups~~  
46 ~~can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,~~  
47 ~~heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -~~  
48 ~~C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted~~  
49 ~~5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;~~

50 ~~R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,~~  
51 ~~(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,~~  
52 ~~aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;~~

53 ~~R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-~~  
54 ~~C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,~~  
55 ~~heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;~~

56 ~~R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group~~  
57 ~~consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and~~

58 ~~R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,~~  
59 ~~(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,~~  
60 ~~aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -~~  
61 ~~N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to~~  
62 ~~6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;~~

63 ~~with the proviso that when the Y<sup>3</sup>-containing ring system is a~~  
64 ~~quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-~~  
65 ~~C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a~~  
66 ~~substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and~~  
67 ~~R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or~~  
68 ~~optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-~~  
69 ~~or 7-membered ring.~~

1 ~~67. A composition of Claim 66, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)- wherein R<sup>14</sup> is~~  
2 ~~selected from the group consisting of aryl and heteroaryl.~~

1 ~~68. A composition of Claim 66, wherein X is -C(O)-.~~

1 ~~69. A composition of Claim 66, wherein Z is -N=.~~

1 70. A composition of Claim 66, wherein  $Y^1$  and  $Y^2$  are each  $-C(R^{12})=$   
2 wherein the two  $R^{12}$  groups are combined to form a fused 6-membered aryl or heteroaryl  
3 ring.

1 71. A composition of Claim 66, wherein X is  $-C(O)-$ ; Z is  $-N=$ ;  $Y^3$  is C;  
2 and  $Y^1$  and  $Y^2$  are each  $-C(R^{12})=$  wherein the two  $R^{12}$  groups are combined to form a  
3 fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 72. A composition of Claim 66, wherein L is  $(C_1-C_8)$ alkylene.

1 73. A composition of Claim 66, wherein Q is  $-C(O)-$ .

1 74. A composition of Claim 66, wherein  $R^4$  is selected from the group  
2 consisting of  $(C_5-C_{15})$ alkyl, substituted or unsubstituted phenyl and biphenyl.

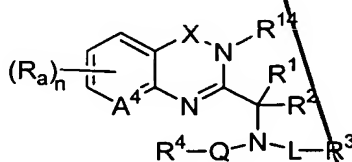
1 75. A composition of Claim 66, wherein  $R^3$  is selected from the group  
2 consisting of  $(C_1-C_8)$ alkoxy,  $(C_1-C_8)$ alkylamino, di $(C_1-C_8)$ alkylamino,  $(C_2-$   
3  $C_8)$ heteroalkyl,  $(C_3-C_9)$ heterocyclyl,  $(C_1-C_8)$ acylamino, cyano, heteroaryl,  $-CONR^9R^{10}$   
4 and  $-CO_2R^{11}$ .

1 76. A composition of Claim 66, wherein  $R^1$  and  $R^2$  are independently  
2 selected from the group consisting of H and  $(C_1-C_4)$ alkyl.

1 77. A composition of Claim 66, wherein  $Y^3$  is C and the carbon atom  
2 shares a double bond with Z.

1 78. A composition of Claim 66, wherein the  $Y^3$ -containing ring system is  
2 selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone,  
3 quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole,  
4 pyridine, pyrazine and benzodiazepine.

1 79. A composition of Claim 66, wherein the compound has the formula  
2 (III):



### III

wherein

A<sup>4</sup> is C or N;

X is -CO-, -CH<sub>2</sub>- or a bond;

R<sup>1</sup> and R<sup>2</sup> are each members independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

R<sup>14</sup> is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is -CO-;

L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;

the subscript n is an integer of from 0 to 4; and

each R<sub>a</sub> is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)<sub>2</sub>R', -NR'-C(O)NR''R''', -NH-C(NH<sub>2</sub>)=NH, -NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>, perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently selected from the group consisting of, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

80. A composition in accordance with Claim 79, wherein X is -C(O)-.

81. A composition in accordance with Claim 79, wherein X is -CH<sub>2</sub>-.

82. A composition in accordance with Claim 79, wherein X is a bond.

83. A composition in accordance with Claim 79, wherein R<sup>4</sup> is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl.

84. A composition in accordance with Claim 79, wherein R<sup>14</sup> is selected from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted thienyl, wherein the substituents are selected from the group consisting of cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>, methylenedioxy and ethylenedioxy.

1 85. A composition in accordance with Claim 79, wherein R<sup>1</sup> is selected  
2 from the group consisting of methyl, ethyl and propyl, and R<sup>2</sup> is.

1 86. A composition in accordance with Claim 79, wherein R<sup>1</sup> and R<sup>2</sup> are  
2 each methyl.

1 87. A composition in accordance with Claim 79, wherein R<sup>3</sup> is selected  
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or  
3 unsubstituted imidazolyl.

1 88. A composition in accordance with Claim 79, wherein L is (C<sub>1</sub>-  
2 C<sub>4</sub>)alkylene.

1 89. A composition in accordance with Claim 79, wherein X is -CO-; R<sup>1</sup>  
2 and R<sup>2</sup> are each independently selected from the group consisting of, methyl and ethyl;  
3 R<sup>14</sup> is selected from the group consisting of substituted or unsubstituted phenyl; L is  
4 methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of substituted  
5 or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is substituted or  
6 unsubstituted benzyl, wherein said substituents are selected from the group consisting of  
7 halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and each R<sub>a</sub> is  
8 selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR', -R', -CN,  
9 -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''', perfluoro(C<sub>1</sub>-  
10 C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently  
11 selected from the group consisting of, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, unsubstituted  
12 aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and (unsubstituted  
13 aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1 90. The composition of Claim 79, wherein said compound is:



18  $R^1$  and  $R^2$  are members independently selected from the group consisting  
19 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
21 optionally  $R^2$  and L can be linked together to form a 5- or 6-membered  
22 heterocyclic group having from 1 to 4 heteroatoms;  
23  $R^3$  is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
24 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
25 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
26 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
27  $R^4$  is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
28 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
29 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
30  $R^5$  and  $R^6$  are each members independently selected from the group  
31 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally  $R^5$   
32 and  $R^6$  are combined to form a 3- to 7-membered ring;  
33  $R^7$  and  $R^8$  are each members independently selected from the group  
34 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
35 each  $R^9$ ,  $R^{10}$  and  $R^{11}$  is independently selected from the group consisting  
36 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
37 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
38  $Y^1$  and  $Y^2$  are each members independently selected from the group  
39 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
40  $Y^3$  is a member selected from the group consisting of N and C wherein the  
41 carbon atom shares a double bond with either Z or  $Y^4$ ; and  
42  $Y^4$  is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
43 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
44 each  $R^{12}$  is a member independently selected from the group consisting of  
45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
46 heteroaryl and aryl, or optionally when  $Y^1$  and  $Y^2$  are both -C(R<sup>12</sup>)= the two  $R^{12}$  groups  
47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when  $Y^1$  is -C(R<sup>12</sup>)= and X is -  
49 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-,  $R^{12}$  and  $R^5$  can be combined to form a substituted or unsubstituted  
50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
51  $R^{13}$  is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,



52 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
53 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

54 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
55 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
56 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;

57 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
58 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and

59 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
60 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
61 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
62 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

64 with the proviso that when the Y<sup>3</sup>-containing ring system is a  
65 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
66 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
67 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
68 R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
69 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
70 or 7-membered ring.

1 92. The method of Claim 91, wherein said compound is administered  
2 orally, parenterally or topically.

1 93. The method of Claim 91, wherein said compound modulates CXCR3.

1 94. The method of Claim 91, wherein said compound is a CXCR3  
2 antagonist.

1 95. The method of Claim 91, wherein said inflammatory or immune  
2 condition or disease is selected from the group consisting of neurodegenerative diseases,  
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,  
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,  
5 urticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive  
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,  
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,



19 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl and heteroaryl, or optionally are combined to  
 20 form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;  
 21 optionally R<sup>2</sup> and L can be linked together to form a 5- or 6-membered  
 22 heterocyclic group having from 1 to 4 heteroatoms;  
 23 R<sup>3</sup> is a member selected from the group consisting of hydroxy, (C<sub>1</sub>-  
 24 C<sub>8</sub>)alkoxy, amino, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-  
 25 C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, amidino, guanidino, ureido, cyano, heteroaryl,  
 26 -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>;  
 27 R<sup>4</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>20</sub>)alkyl, (C<sub>2</sub>-  
 28 C<sub>20</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl,  
 29 aryl(C<sub>1</sub>-C<sub>6</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>6</sub>)heteroalkyl;  
 30 R<sup>5</sup> and R<sup>6</sup> are each members independently selected from the group  
 31 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl, or optionally R<sup>5</sup>  
 32 and R<sup>6</sup> are combined to form a 3- to 7-membered ring;  
 33 R<sup>7</sup> and R<sup>8</sup> are each members independently selected from the group  
 34 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl,  
 35 each R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> is independently selected from the group consisting  
 36 of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl,  
 37 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;  
 38 Y<sup>1</sup> and Y<sup>2</sup> are each members independently selected from the group  
 39 consisting of -C(R<sup>12</sup>)=, -N=, -O-, -S- and -N(R<sup>13</sup>)-;  
 40 Y<sup>3</sup> is a member selected from the group consisting of N and C wherein the  
 41 carbon atom shares a double bond with either Z or Y<sup>4</sup>; and  
 42 Y<sup>4</sup> is a member selected from the group consisting of -N(R<sup>14</sup>)-, -C(R<sup>14</sup>)=,  
 43 -N= and -N(R<sup>14</sup>)-C(R<sup>15</sup>)(R<sup>16</sup>)-, wherein  
 44 each R<sup>12</sup> is a member independently selected from the group consisting of  
 45 H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
 46 heteroaryl and aryl, or optionally when Y<sup>1</sup> and Y<sup>2</sup> are both -C(R<sup>12</sup>)= the two R<sup>12</sup> groups  
 47 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl,  
 48 heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y<sup>1</sup> is -C(R<sup>12</sup>)= and X is -  
 49 C(R<sup>5</sup>)= or -C(R<sup>5</sup>)(R<sup>6</sup>)-, R<sup>12</sup> and R<sup>5</sup> can be combined to form a substituted or unsubstituted  
 50 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;  
 51 R<sup>13</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
 52 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,

53 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

54 R<sup>14</sup> is a member selected from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-  
55 C<sub>8</sub>)heteroalkyl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl,  
56 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl and aryl;

57 R<sup>15</sup> and R<sup>16</sup> are each members independently selected from the group  
58 consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl and (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and

59 R<sup>17</sup> is a member selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl,  
60 (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, heteroaryl, aryl, heteroaryl(C<sub>1</sub>-C<sub>6</sub>)alkyl, heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
61 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, or optionally when Y<sup>2</sup> is -C(R<sup>12</sup>)= or -  
62 N(R<sup>13</sup>)-, R<sup>17</sup> can be combined with R<sup>12</sup> or R<sup>13</sup> to form a substituted or unsubstituted 5- to  
63 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

64 with the proviso that when the Y<sup>3</sup>-containing ring system is a  
65 quinazolinone or quinolinone ring system, and R<sup>4</sup>-Q- is substituted or unsubstituted (C<sub>5</sub>-  
66 C<sub>15</sub>)alkyl, then R<sup>3</sup>-L- is other than substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)alkylene or a  
67 substituted or unsubstituted (C<sub>2</sub>-C<sub>8</sub>)heteroalkylene attached to -NR'R'', wherein R' and  
68 R'' are independently selected from the group consisting of hydrogen and (C<sub>1</sub>-C<sub>8</sub>)alkyl, or  
69 optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6-  
70 or 7-membered ring.

1 98. A method in accordance with Claim 97, wherein Y<sup>4</sup> is -N(R<sup>14</sup>)-  
2 wherein R<sup>14</sup> is selected from the group consisting of aryl and heteroaryl.

1 99. A method in accordance with Claim 97, wherein X is -C(O)-.

1 100. A method in accordance with Claim 97, wherein Z is -N=.

1 101. A method in accordance with Claim 97, wherein Y<sup>1</sup> and Y<sup>2</sup> are  
2 each -C(R<sup>12</sup>)=, wherein the two R<sup>12</sup> groups are combined to form a fused 6-membered  
3 aryl or heteroaryl ring.

1 102. A method in accordance with Claim 97, wherein X is -C(O)-; Z is  
2 -N=; Y<sup>3</sup> is C; and Y<sup>1</sup> and Y<sup>2</sup> are each -C(R<sup>12</sup>)= wherein the two R<sup>12</sup> groups are combined  
3 to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

1 103. A method in accordance with Claim 97, wherein L is (C<sub>1</sub>-  
2 C<sub>8</sub>)alkylene.

1 104. A method in accordance with Claim 97, wherein Q is  $-C(O)-$ .

1                    **105.**    A method in accordance with Claim 97, wherein R<sup>4</sup> is selected  
2    from the group consisting of (C<sub>5</sub>-C<sub>15</sub>)alkyl, substituted or unsubstituted phenyl and  
3    biphenyl.

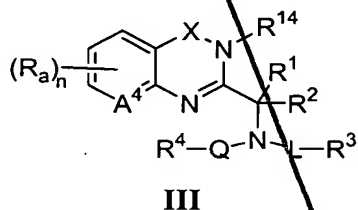
1                    **106.** A method in accordance with Claim 97, wherein R<sup>3</sup> is selected  
2    from the group consisting of (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkylamino, di(C<sub>1</sub>-C<sub>8</sub>)alkylamino,  
3    (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>9</sub>)heterocyclyl, (C<sub>1</sub>-C<sub>8</sub>)acylamino, cyano, heteroaryl,  
4    -CONR<sup>9</sup>R<sup>10</sup> and -CO<sub>2</sub>R<sup>11</sup>.

1           **107.** A method in accordance with Claim 97, wherein R<sup>1</sup> and R<sup>2</sup> are  
2 independently selected from the group consisting of H and (C<sub>1</sub>-C<sub>4</sub>)alkyl.

1                    **108.** A method in accordance with Claim 97, wherein Y<sup>3</sup> is C and the  
2 carbon atom shares a double bond with Z.

1                    **109.**    A method in accordance with Claim 97, wherein the Y<sup>3</sup>-containing  
2 ring system is selected from the group consisting of quinoline, quinazoline, naphthalene,  
3 quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole,  
4 imidazole, pyridine, pyrazine and benzodiazepine.

1                    **110.** A method in accordance with Claim 97, wherein said compound  
2    has the formula (III):



5 wherein

6  $A^4$  is C or N;

7 X is  $\text{-CO-}$ ,  $\text{-CH}_2\text{-}$  or a bond;

8 R<sup>1</sup> and R<sup>2</sup> are each members independently selected from the group consisting of  
9 H and (C<sub>1</sub>-C<sub>4</sub>)alkyl;

10 R<sup>14</sup> is a substituted or unsubstituted member selected from the group consisting of  
11 phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl,

12 Q is -CO-;  
13 L is (C<sub>1</sub>-C<sub>8</sub>)alkylene;  
14 the subscript n is an integer of from 0 to 4; and  
15 each R<sub>a</sub> is independently selected from the group consisting of halogen, -OR',  
16 -OC(O)R', -NR'R'', -SR', -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R',  
17 -OC(O)NR'R'', -NR''C(O)R', -NR''C(O)<sub>2</sub>R', -NR'-C(O)NR''R''',  
18 -NH-C(NH<sub>2</sub>)=NH, -NR'C(NH<sub>2</sub>)=NH, -NH-C(NH<sub>2</sub>)=NR', -S(O)R', -  
19 S(O)<sub>2</sub>R', -S(O)<sub>2</sub>NR'R'', -N<sub>3</sub>, -CH(Ph)<sub>2</sub>, perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and  
20 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each independently  
21 selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
22 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-  
23 C<sub>4</sub>)alkyl, and (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1 111. A method in accordance with Claim 110, wherein X is -C(O)-.

1 112. A method in accordance with Claim 110, wherein X is -CH<sub>2</sub>-.

1 113. A method in accordance with Claim 110, wherein X is a bond.

1 114. A method in accordance with Claim 110, wherein R<sup>4</sup> is substituted  
2 or unsubstituted benzyl, wherein said substituents are selected from the group consisting  
3 of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl.

1 115. A method in accordance with Claim 110, wherein R<sup>14</sup> is selected  
2 from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl  
3 and substituted thienyl, wherein the substituents are selected from the group consisting of  
4 cyano, halogen, (C<sub>1</sub>-C<sub>8</sub>)alkoxy, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, CONH<sub>2</sub>,  
5 methylenedioxy and ethylenedioxy.

1 116. A method in accordance with Claim 110, wherein R<sup>1</sup> is selected  
2 from the group consisting of methyl, ethyl and propyl, and R<sup>2</sup> is hydrogen.

1 117. A method in accordance with Claim 110, wherein R<sup>1</sup> and R<sup>2</sup> are  
2 each methyl.

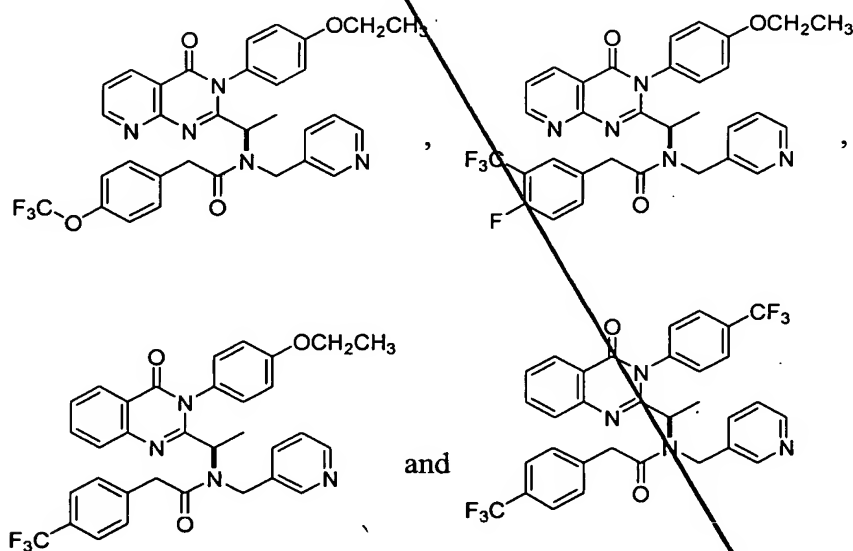
1 118. A method in accordance with Claim 110, wherein R<sup>3</sup> is selected  
2 from the group consisting of substituted or unsubstituted pyridyl and substituted or

3 unsubstituted imidazolyl.

1 119. A method in accordance with Claim 110, wherein L is (C<sub>1</sub>-  
2 C<sub>4</sub>)alkylene.

1 120. A method in accordance with Claim 110, wherein X is -CO-; R<sup>1</sup>  
2 and R<sup>2</sup> are each independently selected from the group consisting of H, methyl and ethyl;  
3 R<sup>14</sup> is selected from the group consisting of substituted or unsubstituted phenyl; Q is -  
4 CO-; L is methylene, ethylene or propylene, R<sup>3</sup> is selected from the group consisting of  
5 substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R<sup>4</sup> is  
6 substituted or unsubstituted benzyl, wherein said substituents are selected from the group  
7 consisting of halogen, halo(C<sub>1</sub>-C<sub>4</sub>)alkyl, halo(C<sub>1</sub>-C<sub>4</sub>)alkoxy, cyano, nitro, and phenyl; and  
8 each R<sub>a</sub> is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R'', -SR',  
9 -R', -CN, -NO<sub>2</sub>, -CO<sub>2</sub>R', -CONR'R'', -C(O)R', -NR''C(O)R', -NR'-C(O)NR''R''',  
10 perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkoxy, and perfluoro(C<sub>1</sub>-C<sub>4</sub>)alkyl, wherein R', R'' and R''' are each  
11 independently selected from the group consisting of H, (C<sub>1</sub>-C<sub>8</sub>)alkyl, (C<sub>2</sub>-C<sub>8</sub>)heteroalkyl,  
12 unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C<sub>1</sub>-C<sub>4</sub>)alkyl, and  
13 (unsubstituted aryl)oxy-(C<sub>1</sub>-C<sub>4</sub>)alkyl.

1 121. The method of Claim 110, wherein said compound is selected from  
2 the group consisting of:



3

1 122. A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is selected from the group consisting of neurodegenerative diseases,  
3 multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis,  
4 encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema,  
5 urticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive  
6 pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis,  
7 Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,  
8 organ transplant conditions and skin transplant conditions.

1 123. The method of Claim 97, wherein said compound modulates  
2 CXCR3.

1 124. A method in accordance with Claim 110, wherein said compound  
2 is administered in combination with a second therapeutic agent, wherein said second  
3 therapeutic agent is useful for treating neurodegenerative diseases, multiple sclerosis,  
4 systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis,  
5 meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, urticaria, type I  
6 diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary  
7 disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's  
8 disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ  
9 transplant conditions or skin transplant conditions.

1 125. A method in accordance with Claim 124, wherein said organ  
2 transplant condition is a bone marrow transplant condition or a solid organ transplant  
3 condition.

1 126. A method in accordance with Claim 125, wherein said solid organ  
2 transplant condition is a kidney transplant condition, a liver transplant condition, a lung  
3 transplant condition, a heart transplant condition or a pancreas transplant condition.

1 127. A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is restenosis.

1 128. A method in accordance with Claim 97, wherein said CXCR3-  
2 mediated condition is selected from the group consisting of multiple sclerosis, rheumatoid



3 arthritis and organ transplant conditions.

1           129. A method in accordance with Claim 110, wherein said compound  
2 is used in conjunction with another therapeutic agent selected from the group consisting  
3 of Remicade®, Enbrel®, a COX-2 inhibitor, a glucocorticoid, an immunosuppressant,  
4 methotrexate, prednisolone, azathioprine, cyclophosphamide, tacrolimus, mycophenolate,  
5 hydroxychloroquine, sulfasalazine, cyclosporine A, D-penicillamine, a gold compound,  
6 an antilymphocyte or antithymocyte globulin, betaseron, avonex and copaxone.

1           130. A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is an organ transplant condition and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 cyclosporine A, FK-506, rapamycin, mycophenolate, prednisolone, azathioprene,  
5 cyclophosphamide and an antilymphocyte globulin.

1           131. A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is rheumatoid arthritis and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 methotrexate, sulfasalazine, hydroxychloroquine, cyclosporine A, D-penicillamine,  
5 Remicade®, Enbrel®, auranofin and aurothioglucose.

1           132. A method in accordance with Claim 110, wherein said CXCR3-  
2 mediated condition is multiple sclerosis and said compound is used alone or in  
3 combination with a second therapeutic agent selected from the group consisting of  
4 betaseron, avonex, azathioprene, capoxone, prednisolone and cyclophosphamide.

1           133. The method of Claim 110, wherein said subject is a human.

1           134. A method for the modulation of CXCR3 function in a cell,  
2 comprising contacting said cell with a compound of Claim 1.

1           135. A method for the modulation of CXCR3 function, comprising  
2 contacting a CXCR3 protein with a compound of Claim 1.

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